Our Ref: 473563

P/00/001
Section 29

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PATENT REQUEST : STANDARD PATENT

I/We, being the person/s identified below as the Applicant, request the grant of a patent to the person/s indicated below as the Nominated Person/s, for an invention described in the accompanying standard complete specification.

Full application details follow.

[71] [70] Applicant/s and Nominated Person/s:
Bayer Aktiengesellschaft, a company registered under the laws of the Federal Republic of Germany,
of D-5090 Leverkusen, Bayerwerk, GERMANY

[54] Invention Title:
Cosmetic skin-care product against ageing of the skin as an effect of light

[72] Name/s of actual inventor/s: (optional)

[74] Address for service in Australia:
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BASIC CONVENTION APPLICATION/S DETAILS:

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DATED this TWENTY NINTH day of JUNE 1993

Bayer Aktiengesellschaft
By Patent Attorneys
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Fee: $ 229.00

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Section 29(1)
Regulation 3.2(2)

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NOTICE OF ENTITLEMENT

We, **BAYER AKTIENGESELLSCHAFT** of D-5090 Leverkusen, Bayerwerk, Germany, the Applicant in respect of Australian Application No. 41644/93 state the following:

1. Bayer Aktiengesellschaft is the Nominated Person in respect of the application.

2. The actual inventors of the invention, the subject of the application, are Peter Finkel and Eckart Voss.

3. The actual inventors are employees of Bayer Aktiengesellschaft, the Nominated Person. The Nominated Person is entitled to the grant of a patent in respect of the application because the said Nominated Person derived title to the invention from the actual inventors by virtue of the terms of their employment.

4. The Nominated Person is entitled to claim priority from the basic application listed on the patent application form because (i) the Nominated Person is the applicant in respect of the basic application; and (ii) the basic application was the first application made in a convention country in respect of the invention the subject of the application.

DATED this 22nd day of September, 1995.

BAYER AKTIENGESELLSCHAFT
By Its Patent Attorneys,
DAVIES COLLISON CAVE

JAMES G SIELY, FIPAA

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(12) PATENT ABRIDGMENT (11) Document No. AU-B-41644/93
(19) AUSTRALIAN PATENT OFFICE (10) Acceptance No. 664757

(54) Title
COSMETIC SKIN-CARE PRODUCT AGAINST AGEING OF THE SKIN AS AN EFFECT OF LIGHT

(51)[5] International Patent Classification(s)
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(56) Prior Art Documents
AU 41645/93

(57) Claim

1. Cosmetic skin-care product, characterised in that it contains, along with customary bases and auxiliaries, a combination of vitamin E or derivatives thereof and 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane as well as, if appropriate, at least one UV filter.

10. A method for treatment of the skin, for increasing the penetration and/or diffusion of vitamin E or derivatives thereof into the, or in the, skin, wherein there is applied, to a subject in need of such treatment, a cosmetic skin-care product as claimed in any one of Claims 1 to 8.

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Regulation 3:2

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ORIGINAL
COMPLETE SPECIFICATION
STANDARD PATENT

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Invention Title: Cosmetic skin-care product against ageing of the skin as an effect of light

The following statement is a full description of this invention, including the best method of performing it known to me:-

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The present invention relates to a new cosmetic skin-care product for external use, which is based on UV-radiation-absorbing substances in combination with a free-radical scavenger system.

Along with nutritive components, cosmetic skin-care products conventionally contain UV B and/or UV A filters to reduce the UV radiation which is responsible for ageing the skin. However, a large part of this radiation reaches deep layers of the skin, and damages them in a multitude of ways. The most important damaging mechanism is the formation of free radicals, which are very high-energy intermediates which, in an entirely unselective manner, attack precisely those skin constituents which are responsible for elasticity and moisture retention.

Among the most effective free-radical scavengers are the vitamin E derivatives, whose biological action is based on the antioxidant action of the compounds themselves (H. Möller et al. Parfümerie und Kosmetik, 68, 11, 688 (1987). However, the function of conventional vitamin E derivatives as free-radical scavengers in cosmetic skin-care products is limited by the insufficient and/or inhomogeneous distribution within the epidermis. Under normal conditions, for example, it is particularly the sensitive basal layer of the epidermis where only relatively low concentrations of active compound can be obtained, and even liposomes, which are currently widely

employed as vehicles, provide no substantial improvement with regard to this.

A new cosmetic skin-care product against ageing of the skin as an effect of light has now been found, which contains, along with conventional bases and auxiliaries, a combination of vitamin E or derivatives thereof and 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane, as well as, if appropriate, at least one UV filter.

2-(Dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane is known from the literature as a hair and skin-care product, where it helps to retain the moisture of the hairs, to protect the hair against mechanical damage, to favour the penetration of panthenol and amino acids into the hair follicle, to impart new lustre to dull hair, to increase the moisture retention capacity of the skin and to keep the skin smooth and supple. (G. Erlemann et al., Seifen, Öle, Fette 117, 10, 379 (1991).

To date, nothing has been disclosed about the use of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane together with vitamin E and derivatives thereof. This compound causes increased penetration and/or diffusion of vitamin E, and derivatives thereof, into the, or in the, skin.

Furthermore, it has been found that the new cosmetic skin-care product according to the invention, against

ageing of the skin as an effect of light, which consists of bases and auxiliaries conventionally used in cosmetics, a combination of UV filter and vitamin E or derivatives thereof, 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane and, if appropriate, at least one UV filter, is obtained when the components are mixed with each other and the mixture is stirred and, if appropriate, subsequently homogenised. The entire preparation is preferably carried out in an evacuated apparatus to avoid the inclusion of air.

The present invention generally also relates to the new use of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane for increasing the penetration and/or diffusion of vitamin E, or the derivatives thereof, into the, or in the, skin, in particular in cosmetic skin-care products.

Surprisingly, the cosmetic skin-care product according to the invention shows none of the usual disadvantages compared with cosmetic formulations known from the prior art.

To optimise the use of vitamin E, a range of experiments were carried out to improve the penetration and diffusion of the substance in the epidermis, and it was demonstrated that a combination of vitamin E derivatives with 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane results in a completely homogeneous distribution of the vitamin E derivatives over the total area of the

epidermis. It was also demonstrated that the skin-care product according to the invention builds up a depot of vitamin E derivative in the skin, in particular in the deeper areas of the epidermis, which are important in the ageing processes. This is an optimum prerequisite for using a free-radical scavenger system in skin which is exposed to light.

The cosmetic skin-care product according to the invention is therefore a highly effective system for protecting the skin while having excellent cosmetic properties.

According to the invention, the customary vitamin E derivatives are preferably employed, and the vitamin E esters may be mentioned as being particularly preferred. Vitamin E linoleate and vitamin E acetate, or mixtures of these, are very particularly preferably used.

The cosmetic skin-care product according to the invention, against ageing of the skin as an effect of light, preferably contains

0.1 to 10% of vitamin E linoleate and/or vitamin E acetate and
0.1 to 10% of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane and, if appropriate,
0.1 to 20% of one or more UV filters,

along with the bases and auxiliaries customary in skin-care products.

The cosmetic skin-care product against ageing of the skin as an effect of light particularly preferably contains

0.3 to 8% of vitamin E linoleate and/or vitamin E acetate and
0.3 to 5% of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane and, if appropriate,
0.3 to 10% of one or more UV filters,

along with the bases and auxiliaries customary in skin-care products.

The abovementioned cosmetic skin-care products preferably contain at least one UV filter in the concentration ranges indicated.

Suitable as UV filters are preferably all UV-absorbing compounds which are mentioned in the EC positive list and which are published in the Fourteenth Guideline 92/8/EEC of the Commission, dated February 18, 1992.

These filters are generally benzylidenecamphor compounds, p-aminobenzoic acid and derivatives thereof, cinnamates, benzoxazole derivatives; benzophenone derivatives and benzotriazole derivatives.

The following compounds are preferably employed as UV filters:
N-propoxylated ethyl 4-aminobenzoate (mixture of isomers)
ethoxylated ethyl 4-aminobenzoate

glyceryl 4-aminobenzoate
2-ethylhexyl-4-dimethylaminobenzoate
2-ethylhexyl salicyclate
isopentyl 4-methoxycinnamate (mixture of isomers)
2-ethylhexyl 4-methoxycinnamate
2-hydroxy-4-methoxy-4'-methyl-benzophenone [mexenone (INN)]
2-hydroxy-4-methoxybenzophenone-5-sulphonic acid and sodium salt (sulisobenzone and sodium salt),
α-(2-oxoborn-3-ylidene-toluene)-4-sulphonic acid and salts thereof
3-(4'-methylbenzylidene)-d,l-camphor
3-benzylidenecamphor
4-isopropyl-dibenzoylmethane
4-isopropylbenzyl salicyclate
1-(4-tert-butylphenyl)-3-(4-methoxyphenyl)propane-1,3-dione
2,4,6-trianilin-(p-carbo-2'-ethylhexyl-1'-oxy)-1,3,5-triazine.

The following compounds are particularly preferably used as UV filters:
2-ethoxyhexyl p-(dimethylamino)-benzoate;
2-ethylhexyl p-methoxycinnamate;
3-(4'-methylbenzylidene)-d,l-camphor;
2-hydroxy-5-methoxybenzophenone;
2-hydroxy-4-methoxybenzophenone-5-sulphonic acid;
2-phenylbenzimidazole-5-sulphonic acid.

Along with the abovementioned combination of active compounds, the cosmetic product according to the

invention contains bases and auxiliaries which are conventionally employed in cosmetics, in particular stabilisers and antioxidants such as butylhydroxyanisole, butylhydroxy-toluene, EDTA salts such as magnesium sulphate, in amounts from 0.02 to 5%, inter alia.

The bases and auxiliaries additionally include solvents which are customary in cosmetics, such as water to 80%, monoalcohols, lower polyalcohols having 1 to 6 carbon atoms or mixtures of these, furthermore fatty material, such as mineral, animal, or vegetable oils such as paraffin oil, or waxes such as microwax, fatty acids, fatty alcohols, fatty acid esters such as cetylstearyl isononanoate and isopropyl palmitate, fatty alcohol ethers, oxyethylated fatty alcohols, lanolin and derivatives, as well as silicone oils in amounts from 0.5 to 50%, preferably 0.5 to 30%, particularly preferably in amounts from 5 to 30%.

If appropriate, the cosmetic skin-care product according to the invention contains emulsifiers in amounts from 0.1 to 20%, preferably in amounts from 0.2 to 10%, these emulsifiers being emulsifiers conventionally employed in cosmetics, in particular non-ionic, anionic, cationic or amphoteric compounds, for example sterols, polyol fatty acid esters and polyol fatty alcohol ethers, alkali metal salts and triethanolamine salts of fatty acids, sodium cetylstearyl sulphate, tetracylammonium halides and phospholipids. Examples of these are glycerol sorbitan fatty acid esters, polyoxyethylene fatty acid esters and

alkyltetraglycol ether o-phosphoric acid esters.

0.02 to 5%, preferably 0.1 to 2%, of thickeners and gelling agents can furthermore be employed in the product according to the invention. These include polyacrylic acid derivatives, cellulose derivatives, bentonites, xanthan derivatives, alginates, guar gum and locust bean gum. Polyacrylamide and zinc stearate are examples.

The preparation according to the invention can contain other substances which are customary in cosmetics. These include humectants (0.5 to 15%), colorants, buffer substances, preservatives and perfume oils in amounts from 0.01 to 5.0%.

The following may be mentioned by way of example as humectants: lower polyalcohols such as glycerol, propylene glycol, butylene glycol, sorbitol, moreover 2-pyrrolidone-5-carboxylic acid and the sodium salt thereof, lactic acid and the salts thereof, urea, proteins and protein derivatives such as collagen, and furthermore hyaluronic acid, inter alia.

Colorants to be added to the cosmetic preparations according to the invention which may be mentioned by way of example are:

Colour C.I. 16255, colour C.I. 61570, colour C.I. 42051, colour C.I. 15985, colour C.I. 77492.

The following are preferably suitable as preservatives:

2,4-hexadienoic acid (sorbic acid and salts thereof),
4-hydroxybenzoic acid, salts and esters thereof,
3-acetyl-6-methyl-2,4(3H)-pyrandione (dehydracetic acid) and salts thereof,
1,1-methylene-bis-(3-(1-hydroxymethyl-2,4-dioximidazolidin-5-yl)-urea),
imidazolindinylurea,
2-phenoxy-ethanol,
benzyl alcohol.

The cosmetic skin-care product according to the invention is preferably in the form of an emulsion (cream or milk), such as oil-in-water or water-in-oil emulsions. It is generally prepared by mixing and stirring of the components, if appropriate followed by homogenisation, and if appropriate and preferably in an evacuated apparatus.

All percentages in the present text relate to percentages by weight, unless stated otherwise.

The invention is illustrated hereinafter in greater detail with the aid of the examples, without this being intended to have a restrictive character.

Example 1a

Oil-in-water emulsion (skin-care cream)			(Data in g)
I.	Polysorbate 60		1.5
	Sorbitan stearate	(emulsifier)	0.9
	Octyldodecanol		10.0
	Cetearyl alcohol	(fat components)	6.0
II.	Polyacrylamide	(thickener)	0.6
III.	Vitamin E linoleates		5.0
	2-(Dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane		2.0
IV.	Perfume oil		0.3
	Preservative		q.s.*)
V.	Glycerol	(humectant)	5.0
	Water	to	100.0

Example 1b

Composition as in Example 1a but additionally 2.0 g of ethyl p-methoxycinnamate as UV B filter and a water content of 66.1 g.

*) q.s. = quantum satifans, that is, the amount which is to be employed, or sufficient, depending on the particular preservative

Preparation of Examples 1a and 1b:
Mixture I is fused at 75°C, II is added to form a dispersion, and the mixture is added to solution V, which has been heated to the same temperature. The mixture is allowed to cool to 35°C with further stirring and homogenisation, mixture III and IV are then added, the mixture is made up with water to 100 g and allowed to cool to room temperature with further stirring. The entire preparation is carried out in an evacuated apparatus to avoid the incorporation of air.

Example 2a

Oil-in-water emulsion (skin-care lotion)		(Data in g)
I. Trilaureth-4-phosphate	(emulsifer)	1.0
Parrafin oil, low viscosity	(fatty	10.0
Isopropyl palmitate	acid components)	5.0
II. Polyacrylamide	(thickener)	0.8
III. Vitamin E linoleate		0.5
2-(Dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane		0.5
IV. Perfume oil		0.3
Preservative		q.s.
V. Glycerol	(humectant)	5.0
Saccharides isomerates		3.0
Water	to	100.0

Example 2b

Composition as in Example 2a, but additionally 2.0 g of UV B filter and a water content of 71.3 g.

Preparation of Examples 2a and 2b:

Mixture I is fused at 75°C, II is added to form a dispersion, and the mixture is added to solution V, which has been heated to the same temperature. The mixture is allowed to cool to 35°C with further stirring and homogenisation, mixture III and IV are then added, the mixture is made up with water to 100 g and allowed to cool to room temperature with further stirring. The entire preparation is carried out in an evacuated apparatus to avoid the incorporation of air.

Example 3a

Water-in-oil emulsion (skin-care cream)			Data in g)
I.	Cetyl dimethicones copoliol		5.0
		(emulsifier)	
	(and) cetyldimethicone	(and)	
	polyglyceryl-3-oleate	(and)	
	hexyl laurate		
	Isohexadecane	(fat	8.0
	Capryic/caproic triglycerides	com-	8.0
	Microwax	ponents)	5.0
	Ethyl p-methoxycinnamate	(UV B filter)	2.0
II.	Vitamine E linoleate		2.0
	2-(Dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane		1.0

III	Perfume oil		0.5
	Preservative		q.s.
IV.	Glycerol	(humectant)	3.0
	Sodium chloride	(stabiliser)	1.5
	Water	to	100.0

Example 3b

Composition as in Example 3a, but without UV B filter and a water content of 65.4 g.

Preparation of Examples 3a and 3b:

Mixture I is fused at 75°C, and solution IV, which has been heated to the same temperature, is added with stirring. The mixture is cooled to 35°C with further stirring and homogenisation, the mixture II and III are then added, and the mixture is made up to 100 g with water and allowed to cool to room temperature, with further stirring. The entire preparation is carried out in an evacuated apparatus in order to avoid the incorporation of air.

The Claims defining the invention are as follows:

1. Cosmetic skin-care product, characterised in that it contains, along with customary bases and auxiliaries, a combination of vitamin E or derivatives thereof and 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane as well as, if appropriate, at least one UV filter.

2. Cosmetic skin-care product according to Claim 1, which contains vitamin E linoleate and/or vitamin E acetate.

3. Cosmetic skin-care product in the form of an emulsion according to Claim 1, characterised in that it contains, along with customary bases and auxiliaries, a combination of

0.1 to 20% (% by weight) of one or more UV filters,
0.1 to 10% (% by weight) of vitamin E linoleate and/or vitamin E acetate and
0.1 to 10% (% by weight) of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane.

4. Cosmetic skin-care product in the form of an emulsion according to Claim 1, characterised in that it contains, along with customary bases and auxiliaries, a combination of
0.3 to 10% (% by weight) of one or more UV filters,
0.3 to 8% (% by weight) of vitamin E linoleate

and/or vitamin E acetate and
0.3 to 5% (% by weight) of 2-(dihydroxyethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane.

5. Cosmetic skin-care product according to Claim 1, characterised in that it additionally contains UV filters.

6. Cosmetic skin-care product according to Claim 1, characterised in that it is an oil-in-water or water-in-oil emulsion in the form of a milk or cream.

7. Cosmetic skin-care product according to Claim 1, characterised in that it contains 0.5 to 10% (% by weight) of one or more UV filters, 0.1 to 10% (% by weight) of vitamin E acetate and/or vitamin E lineoleate as well as 0.1 to 5% of 2-(dihydroxy-ethyl)-2-hydroxy-6,10,14-trimethyl-pentadecane.

8. Cosmetic skin-care product according to any one of Claims 1 to 7, characterised in that it contains, as bases or auxiliaries, one or more of the following substances:

antioxidants, solvents, mineral, animal or vegetable oils or waxes, fatty acids, fatty alcohols, fatty acid esters, fatty alcohol ethers, ethoxylated fatty alcohols, lanolin or lanolin derivatives, silicone oils, emulsifiers, thickeners, humectants, colorants, buffer substances, preservatives and perfume oils.

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9. Process for the preparation of a cosmetic skin-care product according to Claim 1, characterised in that the active compounds mentioned in Claim 1 and the customary bases and auxiliaries are mixed, if appropriate after predispersing, stirring and/or homogenisation, and if appropriate in an evacuated apparatus.

10. A method for treatment of the skin, for increasing the penetration and/or diffusion of vitamin E or derivatives thereof into the, or in the, skin, wherein there is applied, to a subject in need of such treatment, a cosmetic skin-care product as claimed in any one of Claims 1 to 8.

11. A cosmetic skin care product according to Claim 1, substantially as herein described with reference to any one of the foregoing examples thereof.

DATED this 22nd day of September, 1995.

BAYER AKTIENGESELLSCHAFT
By Its Patent Attorneys
DAVIES COLLISON CAVE

AUSTRALIAN PATENT OFFICE

Cosmetic skin-care product against ageing of the skin as an effect of light

A b s t r a c t

The present invention relates to a new cosmetic skin-care product for external use, which is based on UV-radiation-absorbing substances in combination with a free-radical scavenger system.